

PATENT SPECIFICATION

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COMPLETE SPECIFICATION.

Strontium Ion-Containing Toothpaste.

We, STAFFORD-MILLER LIMITED, a corporation of Great Britain, whose principal office is at 166 Great North Road, Hatfield, Hertfordshire, England, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:

The present invention relates to an improved dentrifice. More particularly it relates to an improved paste dentrifice effective in the treatment of hypersensitive dentin.

The condition known as hypersensitive dentin is quite prevalent. It may exhibit itself in response to various external stimuli on the surface of the teeth such as thermal changes, tactile stimuli, high osmotic pressures in the oral cavity, changes in pH, and other common circumstances virtually impossible to avoid. The problem is especially acute in adults who have lost some of the normal protective enamel sheathing on tooth surfaces because of erosion, abrasion, caries, chipping of the enamel, or in whom recession of the gingiva has exposed tooth dentin and cementum. However, certain stimuli such as cold, heat, and high sugar concentrations may evoke a painful response in children's teeth even when the teeth are in sound condition and the protective enamel tooth covering is still intact. The causes of painful hypersensitive dentin have never been completely explained since it has been demonstrated that calcified tooth structure itself does not contain nerve fibre. However, a generally accepted theory is that the dentinal tubules and odontoblastic fibrils in dentin, the normal functions of which are to produce calcified compounds in the tooth structure, are capable of transmitting neutral

impulses from the tooth structure to the dental pulp, which contains extremely sensitive nerve cells. The dentinal tubules and odontoblastic fibrils account in large part for the organic fraction of tooth dentin. It is known that both immature enamel and immature and mature dentin are higher in organic content than mature enamel, and thus probably have a higher content of dentinal tubules and odontoblastic fibrils than tooth enamel for the transmission of the above mentioned neural impulses. This circumstance would explain the greater sensitivity of immature teeth and exposed dentin in mature teeth.

Many methods have been proposed for the treatment of hypersensitive dentin. Some of these include treatment with sodium fluoride solution, sodium silicofluoride solution, zinc chloride solution, formaldehyde solution, concentrated glycerin, silver nitrate solution, and other agents. However, all these proposed therapies suffer from one disadvantage or another. Some are potentially toxic to the user if accidentally ingested in large doses; others are tissue denaturants or coagulants to be used with great caution and only under professional supervision, and others may discolor the teeth. None are believed to be suitable for incorporation into a toothpaste for home use which would be effective, stable, and safe for continued periods of time.

It has been discovered that solutions of water-soluble strontium compounds are particularly suitable for the therapy of hypersensitive dentin and are non-injurious to the tissues of the mouth. When applied to tooth surfaces, it is believed that an adsorption of strontium ions occurs on the odontoblastic fibrils or in the dentinal tubules thus blocking the transmission of neutral impulses

from the dentinal surface to the dental pulp. Since strontium ion is a normal constituent of tooth structure and since it has extremely low local and systemic toxicity, it is believed that this observation provides a useful therapeutic means for the dentist to control hypersensitive dentin by the application of simple water-soluble strontium compound solutions to the teeth of his patients.

Accordingly the present invention provides a water-containing toothpaste composition which comprises a stable solution of a non-toxic, water-soluble ionic strontium compound and a surface-active wetting agent compatible with strontium ions in an aqueous solution, said toothpaste not containing appreciable amounts of substances which precipitate said strontium.

The use of a surface active wetting agent with the water soluble strontium compound contained in the toothpaste has been found to be highly desirable, particularly when such wetting agent is a foaming type of detergent. In addition to serving the purpose of cleaning the teeth, the detergent enhances the effectiveness of the strontium ion as a desensitizing agent by facilitating penetration of strontium ions into tooth structure. The water-soluble strontium compounds is advantageously incorporated along with the wetting agent, in a toothpaste which includes enough water to dissolve a substantial percentage, or all, of the water-soluble strontium compound, a polishing agent and certain other ingredients including bodying and gelling agents, and other additives.

The incorporation of water-soluble strontium compounds in common water-containing toothpaste formulations so that treatment for hypersensitive dentin can be continued away from the dental office, however, has heretofore proven to be wholly unsuccessful because of the highly reactive chemical nature of the strontium ion. Most commercial dentrifices are formulated with inorganic chemical polishing agents of low solubility in which the cation is an alkaline earth metal such as calcium or magnesium. Calcium phosphates, calcium carbonate, calcium sulphate, magnesium hydroxide, magnesium phosphates, and magnesium carbonates are examples of commonly used polishing compounds. It is not feasible to incorporate water-soluble strontium compounds into water-containing toothpaste formulations of this nature because of the chemical reaction which will occur between the strontium ions from the water-soluble strontium compound and the anions of the alkaline earth metal polishing agent in the presence of water contained in the toothpaste with eventual partial to complete precipitation and removal from therapeutic utility of the originally soluble strontium compound.

Furthermore, the foaming agents used in normal toothpaste formulations are surface active wetting agents which are usually anionic in nature, consisting of long-chain fatty acid or fatty alcohol derivatives, including simple alkali-fatty acid soaps, and fatty acids or alcohols etherified, esterified, or condensed with sulphates, phosphates, amino acids, polyalkylene oxides, or conjugated cyclic derivatives. Strontium ions will react with many of these surfactants in the presence of water contained in a toothpaste to produce insoluble strontium derivatives which can not then provide the cleansing and foaming action desirable in toothpastes after storage for prolonged periods.

In addition, many of the bodying and gelling agents employed in conventional toothpaste formulations are alkali-metal salts of high molecular weight carbohydrate or cellulosic polymers containing terminal carboxylic or sulphonic acid groups. These agents are not suitable for use with water-soluble strontium compounds held in solution because of the eventual reaction between the acid radicals with the strontium ion in the presence of water contained in the toothpaste, resulting in a loss of bodying properties in the finished toothpaste.

It has been found to be essential, therefore, to incorporate the water-soluble strontium compounds into water-containing toothpastes in which all major components are compatible with the strontium compounds; that is, such toothpaste components that will neither render inactive nor precipitate the strontium ion and the word compatible will herein be used in such sense, both in the specification and in the appended claims. Examples of compatible polishing agents which have been employed for the purpose include strontium phosphate, strontium carbonate, micronized silica, and aluminium hydroxide. Examples of surface-active foaming agents showing a high degree of compatibility with water-soluble strontium compounds which have been employed, include the polyoxyethylene partial esters of polyhydric fatty acid derivatives, polyoxyethylene, polyoxypropylene condensates, polyoxyethylene-fatty alcohol ethers, and fatty acid N-methyl taurine condensates. Examples of compatible bodying agents which have been used include methylcellulose, hydroxyethylcellulose, and polyoxyethylene polymers with molecular weights between 250,000 and 5,000,000.

Other constituents incorporated in the water-containing toothpastes must also be compatible with water-soluble strontium compounds. For that reason, sodium benzoate is not used as a preservative since it will react with strontium ions in the presence of water contained in the toothpaste

- to produce an insoluble precipitate. Ascorbic acid, sodium bicarbonate, sodium N-lauroyl sarcosinate, sodium oxalate, sodium lauryl sulphate, sodium fluoride, stannous fluoride, diammonium phosphate, water-soluble chlorophyllins, antibiotics with free carboxylic groups, and many other compounds which have been suggested for toothpaste formulation, are similarly unusable because of their potential reaction and precipitation with strontium ion in the presence of water contained in the toothpaste.
- Examples of water-soluble ionic strontium compounds that may be employed satisfactorily for the present purpose include strontium chloride, strontium acetate, strontium bromide, strontium lactate, strontium iodide, strontium nitrate, and strontium salicylate. However, the choice of the particular anion in the original dry strontium compound will be apparent from the above to those skilled in the art. The limiting circumstances are that the strontium compound used be non-toxic, that it be water-soluble, that it can be dissolved in the water contained in the toothpaste, and that it contribute strontium ions to the aqueous solution in which it is used. By the term "ionic" strontium compound is meant a strontium compound which provides strontium ions when in aqueous solution. By the term "water-containing" toothpaste is meant a dentifrice in semi-solid or viscous, liquid form which has been compounded with enough water to dissolve a substantial percentage or all of the strontium compound contained therein.
- The concentration of the strontium ions employed in compatible toothpaste compositions should range from between about 0.5% to 10.0% by weight and the surface-active wetting agent from about 0.5% to 5.00% by weight. Concentrations of strontium ions lower than the stated minimum will have little effect in relieving hypersensitive dentin. Amounts in excess of 10% will result in salty and bitter toothpastes, rendering them unpalatable, although not detracting from their therapeutic utility. More particularly, it has been found that the range of 2.0% to 5.0% by weight of strontium ions and 1.0% to 3.0% by weight of the wetting agent to be preferable concentrations to exert the desired therapeutic effect. The compatible polishing agent should preferably be present by weight between about 10% and 50%. The amount of water used in the toothpaste composition should be great enough to dissolve a therapeutically active concentration of the water-soluble strontium compound within the limits set forth above.
- In order that the invention may be more fully understood the following examples of toothpaste compositions are given by way of illustration only:
- EXAMPLE 1
- | | | | |
|--|-----|--------|----|
| Strontium chloride .6 H ₂ O | ... | 10.0%* | 65 |
| Water | ... | 36.2% | |
| Glycerin | ... | 25.0% | 70 |
| Hydroxyethylcellulose | ... | 1.6% | |
| Polyoxyethylene sorbitan monolaurate | ... | 2.0% | |
| Micronized silica | ... | 24.0% | |
| Spearmint oil | ... | 1.0% | 75 |
| Saccharin | ... | 0.2% | |
- * Equivalent to 3.3% strontium ion concentration
- EXAMPLE 2
- | | | | |
|---------------------------------------|-----|-------|----|
| Strontium lactate .3 H ₂ O | ... | 8.0%* | 80 |
| Water | ... | 36.2% | |
| Strontium carbonate | ... | 15.0% | |
| Sodium N-lauryl N-methylaurate | ... | 2.5% | |
| Sorbitol | ... | 20.0% | 85 |
| Micronized silica | ... | 15.0% | |
| Methylcellulose | ... | 2.0% | |
| Peppermint oil | ... | 0.8% | |
| Dulcin | ... | 0.5% | |
- * Equivalent to 2.6% strontium ion concentration 90
- EXAMPLE 3
- | | | | |
|--|-----|--------|-----|
| Strontium acetate .1/2 H ₂ O | ... | 10.0%* | |
| Water | ... | 27.00% | |
| Aluminium hydroxide | ... | 26.0% | 95 |
| Micronized silica | ... | 10.0% | |
| Glycerin | ... | 22.0% | |
| Polyoxyethylene Polymer (Molecular weight about 2,000,000) | ... | 1.8% | |
| Polyoxyethylene lauryl ether | ... | 2.0% | 100 |
| Spearmint oil | ... | 0.9% | |
| Methyl salicylate | ... | 0.1% | |
| Methyl parahydroxybenzoate | ... | 0.05% | |
| Saccharin | ... | 0.15% | |
- * Equivalent to 4.1% strontium ion concentration 105
- EXAMPLE 4
("Liquid" Toothpaste)
- | | | | |
|---------------------------------------|-----|--------|-----|
| Strontium bromide .6 H ₂ O | ... | 20.0%* | |
| Water | ... | 63.6% | 110 |
| Micronized silica | ... | 10.0% | |
| Methylcellulose | ... | 2% | |
| Methyl parahydroxybenzoate | ... | 0.07% | |
| Propyl parahydroxybenzoate | ... | 0.03% | |
| Polyoxyethylene sorbitan monostearate | ... | 3.0% | 115 |
| Spearmint oil | ... | 0.7% | |
| Peppermint oil | ... | 0.3% | |
| Saccharin | ... | 0.3% | |
- * Equivalent to 4.9% strontium ion concentration 120

All of the above mentioned compositions are adequate cleansers for human teeth and may be used for the ordinary purposes of

- removing superficial stains and food particles from and between the teeth, and for refreshing, and sweetening the mouth. They differ from usual toothpaste formulations, however, in that in the presence of water contained in the toothpaste carrier, they release strontium ions which can contact and adsorb on the organic structure of dentin and thereby exert a protective effect against the transmission of sensory impulses from the exterior surfaces of the teeth to the dental pulp.
- It should be noted that in the examples given above that the various ingredients thereof may be substituted in whole or in part by one or more of the similarly functioning substances described herein and that the concentrations of these ingredients may be varied within the ranges specified herein.
- 20 WHAT WE CLAIM IS:—
1. A water-containing toothpaste composition which comprises a stable solution of a non-toxic, water-soluble ionic strontium compound and a surface-active wetting agent compatible with strontium ions in an aqueous solution, said toothpaste not containing appreciable amounts of substances which precipitate said strontium.
 2. A toothpaste composition as claimed in claim 1 in which said ionic strontium compound is strontium chloride, strontium acetate, strontium bromide, strontium lactate, strontium iodide, strontium nitrate or strontium salicylate.
 3. A water-containing toothpaste composition as claimed in claim 1 or claim 2 in which said strontium ions constitute between 0.5% and 10% by weight of the toothpaste.
 4. A toothpaste composition as claimed in any of claims 1 to 3 in which the surface acting wetting agent is a polyoxyethylene partial ester of a polyhydric fatty acid derivative, a polyoxyethylene polyoxypropylene condensate, a polyoxyethylene-fatty alcohol ether or a fatty acid N-methyl taurine condensate.
 5. A toothpaste composition as claimed in any of claims 1 to 4 in which the surface-active wetting agent constitutes between 0.5% and 5% by weight of said toothpaste.
 6. A toothpaste composition as claimed in any of claims 1 to 5 which includes as a polishing agent, strontium phosphate, strontium carbonate, micronized silica or aluminium hydroxide.
 7. A toothpaste composition as claimed in any of claims 1 to 6 which includes between 10% and 50% by weight of said toothpaste of a polishing agent compatible with strontium ions in an aqueous solution.
 8. A toothpaste composition as claimed in any of claims 1 to 7 which includes a bodying agent which is methylcellulose, hydroxyethylcellulose or a polyoxyethylene polymer with a molecular weight between 250,000 and 5,000,000.
 9. A toothpaste composition substantially as described herein with reference to any of Examples 1 to 4.

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